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DETAILED DESCRIPTION

[Detailed Description of the Invention]

[0001]

[Industrial Application] This invention can use this derivative about a new N and N'-dibenzoyl-tert-butyl hydrazine derivative as an insecticide in a paddy field, Hataji, an orchard, a forest, or an environmental sanitation scene. Moreover, this derivative can be used as a parasitic prevention agent, in order to protect a man or an animal from a parasitic failure.

[0002]

[Description of the Prior Art] It is indicated by JP,62-167747,A that an N'-permutation-N and N'-diacyl hydrazine compound has a useful N-permutation-N'-permutation-N and N'-diacyl hydrazine compound as an insecticide to JP,62-263150,A again. However, the derivative of this invention is not concretely indicated at all by the above-mentioned patent.

[0003]

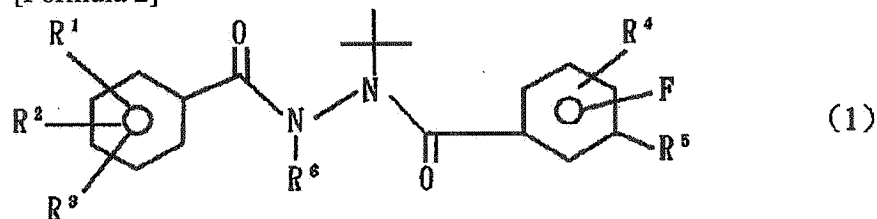
[Problem(s) to be Solved by the Invention] In recent years, the resistance of the noxious insect to insecticides, such as the conventional organophosphorus compounds, a carver mate agent, and a pyrethroid agent, progresses, and it is becoming difficult in a paddy field, Hataji, an orchard, a forest, or an environmental sanitation scene to prevent them. Moreover, the toxicity to the effect in the environment of these insecticides and men and beasts also serves as a big social problem, and drugs new type are demanded.

[0004] this invention -- a drug resistance noxious insect -- receiving -- a prominent effect -- being shown -- an environment -- damage -- not doing -- in addition -- and it aims at offering the insect-killing constituent which makes an active principle the compound and it which have the insect-killing activity new type which is low toxicity also to men and beasts.

[0005]

[Means for Solving the Problem] In order to solve the above-mentioned technical problem, as a result of inquiring wholeheartedly, it is a general formula [0006].

[Formula 2]



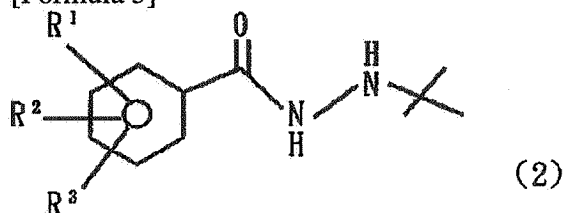
[0007] Independently the inside R1 of [type, R2, R3, and R4, respectively A hydrogen atom, A halogen atom, a nitro group, a cyano group, an alkyl group (C1 - C4), A halo alkyl group, an alkenyl (C2 - C4) radical, (C1 - C4) An alkynyl group, an alkoxy group (C1 - C4), (C2 - C4) (C1 - C4) Haloalkoxy radical (when the adjoining location of two pieces is permuted by the alkoxy group and the haloalkoxy radical, these substituents may join together and the dioxo llano or JIOKISANO ring of 5- or 6-member may be formed.) It is shown and is R5. An alkyl group (C1 - C4) and an alkenyl (C2 - C4) radical are shown. R6 A hydrogen atom, an alkyl group (C1 - C4), an alkenyl (C2 - C4) radical, (C2 - C4) The insect-killing effectiveness of an N and N'-dibenzoyl-tert-butyl hydrazine derivative expressed with]

which shows an alkynyl group finds out a very high thing, and came to complete this invention.

[0008] The compound of the general formula (1) of this invention can be manufactured by the following approaches. Namely, a general formula (2)

[0009]

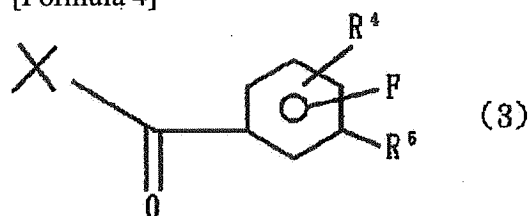
[Formula 3]



[0010] The inside R1 of [type, R2, and R3 have the same semantics as the above.] The N-benzoyl-N'-tert-butyl hydrazine and general formula (3) which are come out of and expressed

[0011]

[Formula 4]



[0012] R4 and R5 express the same semantics as the above among [type, and X expresses a halogen atom.] It is obtained by coming out and making the benzoyl halide expressed react under existence of a solvent and a base. A reaction is an equimolar ratio, or although mostly carried out by the equimolar ratio, it can also use one of components superfluously.

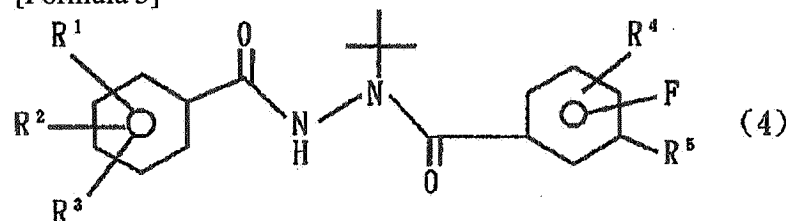
[0013] As a solvent, aprotic supply nature polar solvents, such as ester, such as nitril, such as ether, such as halogenated hydrocarbon, such as aliphatic hydrocarbon, such as a hexane, a heptane, and petroleum benzene, chloroform, dichloromethane, and a chlorobenzene, diethylether, and a tetrahydrofuran, an acetonitrile, and propionitrile, and ethyl acetate, dimethylformamide, and dimethyl sulfoxide, water, or these mixed solvents are mentioned.

[0014] As a base, organic bases, such as inorganic bases, such as a sodium hydroxide and potassium carbonate, or triethylamine, and a pyridine, are mentioned. It uses superfluously and organic bases can also be considered as a solvent. It is possible the amount of theory or to use a base superfluously. The range of -20 degrees C - 100 degrees C of reaction temperature is 0 degree C - 50 degrees C preferably. Moreover, it can also add in the system of reaction by making 4-N and N-dimethylamino pyridine etc. into a catalyst.

[0015] It sets to the compound of a general formula (1), and is R6. When an alkyl group (C1 - C4), an alkenyl (C2 - C4) radical, and an alkynyl group (C2 - C4) are shown, it can manufacture by the following approaches. Namely, a general formula (4)

[0016]

[Formula 5]



[0017] It is the compound and general formula (5) which are expressed with [the inside R1 of a formula, R2, R3, R4, and R5 show the same semantics as the above].

[0018]

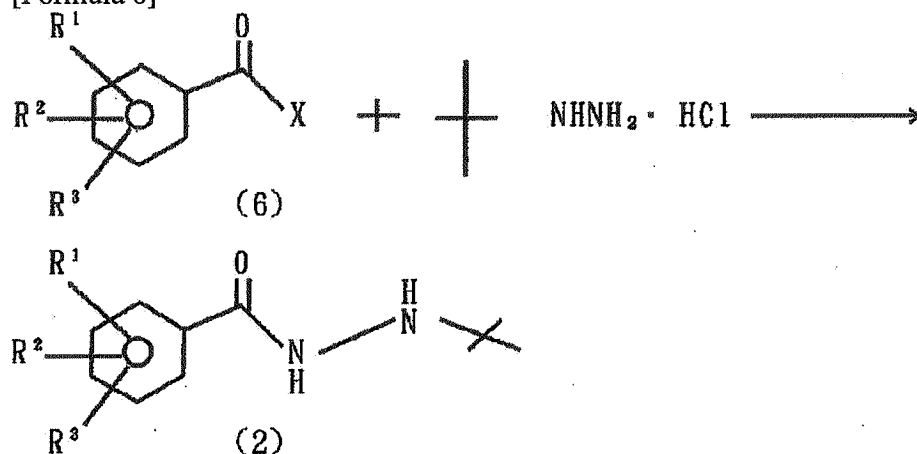
R6 -X (5)

[0019] It is obtained by making the alkyl halide expressed with [as for the inside R6 of a formula the same semantics as the above is shown and X shows a halogen atom], alkenyl halide, and alkynyl halide react under existence of a solvent and a base. Although a reaction is an equimolar ratio or is mostly performed by the equimolar ratio, it can also use halide superfluously.

[0020] As a solvent, inert solvents, such as dimethylformamide and a tetrahydrofuran, are mentioned and it can obtain under existence of bases, such as sodium hydride, by making high RAIDO, such as propyl Promid, allyl compound Promid, and propargyl Promid, react. The compound of a general formula (2) used in order to manufacture the compound of a general formula (1) can be obtained by making the benzoyl halide expressed with t-butyl hydrazine hydrochloride and a corresponding general formula (6) react. This reaction is illustrated below.

[0021]

[Formula 6]



[0022] R1, R2, R3, and X express the same semantics as the above among [type.] The conditions of many reactions, for example, a solvent, reaction temperature, etc. are the same as the conditions used for the reaction of the compound of a general formula (2), and the compound of a general formula (3).

[0023] the reaction mixture at the time of manufacture of the compound of a general formula (1) or the compound of a general formula (2) is enough -- time amount stirring is carried out and the specified substance is recovered by processes, such as the usual after treatment, for example, an extract, rinsing, desiccation, and solvent distilling off. Although it is enough just to carry out easy solvent washing in many cases, if there is need, recrystallization or column chromatography can refine.

[0024] When using the compound of the general formula (1) of this invention, by the approach which mixes an agricultural-chemicals adjuvant in order to remain as it is or to make effectiveness promotion ***** stability according to the purpose of use, and is generally performed in the

agricultural-chemicals manufacture field It can be used by making it the constituent of the formulation of arbitration, such as haze agents, such as powder material, a fine grain agent, a granule, water dispersible powder, a floor bull agent, an emulsion, a microcapsule agent, oils, aerosol, heating fumigants (a mosquito coil, electric ****, etc.), and FOKKINGU, a non-heating fumigant, and poison bait. On the occasion of actual use, these various pharmaceutical preparation can be used as they are directly, or can be diluted and used for desired concentration with water.

[0025] As an agricultural-chemicals adjuvant said here, support (diluent) and other adjuvants, for example, a spreader, an emulsifier, a ** exhibition agent, a dispersant, a binder, disintegrator, etc. are raised, and the thing of them can be carried out. As liquid support, sulfoxides, such as amides, such as ketones, such as alcohols, such as aromatic hydrocarbon, such as toluene and a xylene, a butanol, an octanol, and a glycol, and an acetone, and dimethylformamide, and dimethyl sulfoxide, a methylnaphthalene, a cyclohexanone, animal and vegetable oils, a fatty acid, fatty acid ester, etc. are raised for petroleum fractions, water, etc., such as kerosene and gas oil, again. As individual support, clay, a kaolin, talc, diatomaceous earth, a silica, a calcium carbonate, a montmorillonite, a bentonite, a

feldspar, a quartz, an alumina, saw dust, etc. are raised.

[0026] Moreover, as an emulsifier or a dispersant, a surface active agent is usually used, for example, anion system surface active agents, such as a higher-alcohol sodium sulfate, stearyl trimethylammonium chloride, polyoxyethylene alkyl phenyl ether, and a lauryl betaine, a cation system surface active agent, a non-ion system surface active agent, and a dipolar ion system surface active agent are raised. Moreover, as a spreader, polyoxyethylene nonyl phenyl ether, polyoxyethylene RAURIRUE-Tell, etc. are raised, polyoxyethylene nonyl phenyl ether dialkyl sulfosuccinate etc. is raised as a ** exhibition agent, a carboxymethyl cellulose, polyvinyl alcohol, etc. are raised as a binder, and ligninsulfonic acid sodium, sodium lauryl sulfate, etc. are raised as disintegrator.

[0027] As for these this invention compound, it is still more possible to also make the more excellent insecticidal activity discover by two or more sorts of combination use. Moreover, other physiological active substances, for example, allethrin, free-wheel-plate RUSURIN, permethrin, Pyrethroid and various isomers, such as deca scalpel phosphorus, fenvalerate, and cyclo pro thorin, Organic phosphorus system insecticides, such as pyrethrum extractives, DDVP, fenitrothion, diazinon, and temephos, Carver mate system insecticides, such as NAC, MTMC, BMC, and PIRIMA -, By mixing with the agricultural chemicals of other insecticides, miticide or a germicide, a nematocide, a herbicide, a plants growth regulator, fertilizer, BT agent, and a worm-hormone agent and others etc., the multiple-purpose constituent which was further excellent in effect can also be built, and the **** effectiveness can also be expected. Furthermore, this effect can also be increased several times by adding what is known, for example as synergists for pyrethroid, such as piperonyl butoxide, a SARUHOKI side, and SAFUROKISAN.

[0028] Moreover, although this invention compound is extremely stable to light, heat, oxidation, etc., the constituent by which effectiveness was stabilized more can be obtained by adding suitably arylamines, such as an antioxidant or an ultraviolet ray absorbent, for example, phenols like BHT and BHA, and alpha-naphthylamine, or benzophenone system compounds as a stabilizer if needed. The active principle content in this invention constituent changes with conditions of formulation, and the approach of using it and others, and only with an active principle compound, although it is good depending on the case, it is usually 0.5 - 80% (weight) of range preferably 0.2 to 95% (weight).

[0029] Although the amount of the constituent used of this invention changes according to the conditions of dosage forms, the approach of using it, a stage, and others, as for the agent for plantation arts, the agent for forest *****, and the agent for range noxious insects, 15-10-300g 200g are usually preferably used in the amount of active principles per 10a., and, as for the agent for health *****, 2-200mg 5-100mg is usually preferably used in the amount of active principles per two 1m. For example, for powder material, the range of 30-240g and an emulsion, and water dispersible powder of 15-120g, and a granule is [in an active principle] 40-250g in an active principle per 10a. at an active principle. However, in being special, it is able to turn the bottom to cross these range, and, sometimes, there is even need.

[0030] Moreover, when using the compound of the general formula (1) of this invention for prevention of a parasite, it is attached to weight, and it is 0.1 - 200 mg/kg. It can use with a corresponding dose. The exact dose to the condition of having been given can be determined daily, and it depends for it on the condition of the Homo sapiens concerning various factors, for example, the quality used, a parasitic class, the combination used, and a parasite, or an animal.

[0031] The example of the concrete noxious insect name which can apply the insect-killing constituent of this invention is given. From Hemiptera [Hemiptere], for example, Nephrotettix (Nephrotettix cinctic-eps), Sogatella furcifera (Sogatella furcifera) and a rice brown planthopper (Nilaparva-talugens), A small brown planthopper (Laodelphax striatellus), Riptortus clavatus (R-iptortus clavatus) and a MINAMIAO bug (Nezaraviridula), Pear Tingidae (Stephanitis nashi) and an ONSHITSU white fly (Trialeurodes vaporariorum), An woolly aphis (Aphis gossypii) and a green peach aphid (Myzus persicae), From an Arrowhead scale (Unasqis yanonensis) and Lepidoptera [Lepidoptera], for example, Phyllonorycter ringoniella (Phyllonorycterringoneella), A cabbage moth (Plutella xylostella), WATAMIGA (Promalactis inonisema), Adoxophyes (Adoxophyes orana) and a soybean pod borer (Leguminivora glycinivorella), Cnaphalocrocis medinalis (Cnaphalocr-ocis medinalis), Chilo (Chilo suppersalis), Ostrinia furnacalis (Ostrin-ia furnacalis), a cabbage armyworm (Mamestrabracassicae), and [0032] Leucania (Pseudaletia separata) and a tobacco cutworm (Spodoptera litura), Rice TSUTOMUSHI (Parnara guttata) and a cabbage butterfly (Pieris rapae crucivora), HERIOCHISU

(*Heliothis* spp.), YAGA (*Agrotis* spp.), From beetles [Coleoptera], for example, a DOUNE buoy buoy (*Anomala cuprea*), A Japanese beetle (*Popillia japonica*) and a rice weevil (*Echinocnemus soqameus*), A rice Ms. weevil (*Lissorhoptrusoryophilus*), rice DOROOIMUSHI (*Oulema oryzae*), a HIMEMARU carpet beetle (*An-threnus verbasci*), and [0033] A cadelle (*Tenebroides mauritanicus*), A rice weevil (*Sitophilus zeamais*), a NIJUUYAHOSHI ten tow (*Henosepilachna vigintioctopunctata*), *Callosobruchus* (*Callosobruchus chinensis*), *Monochamus alternatus* (*Monochamus alternatus*), *Aulacophora femoralis* (*Aulacophora femoralis*) and rep chino TARUSA DESEMURINEATA (*Leptinotarsa decemlineata*), FEDON and substance -- as rare RIAE (*Phaedon cochlear-iae*), JIABUROCHIKA (*Diabrotica* spp.), and Hymenoptera [Hymenoptera] For example, a turnip sawfly (*Athalia rosaejaponensis*), As a RURICHUU range sawfly (*Argesi-milis*) and Diptera [Diptera], for example, *Culex fatigans* (*Culex pipiens fatigans*), *Aedes aegypti* (*Aedes aegypti*), soybean SAYATAMABAE (*Asphondylia* sp.), a seed-corn fly (*Hylemya platura*), a muscid (*Musca domestica* vicina), [0034] A melon fruit fly (*Dacus cucurbitae*) and *Agromyza oryzae* (*Agromyza oryzae*), As KIMBAE (*Lucilia* spp.) and Siphonaptera [Aphaniptera], the *Pulex irritans* (*Pulex irritans*), A KEOBUSU rat flea (*Xenopsylla cheopis*), As a dog flea (*Ctenocephalides canis*) and Thysanoptera [Thysanoptera], *Scirtothrips dorsalis* (*Scirtothrips dorsalis*), As Welsh onion thrip (*Thrips tabaci*), MINAMIKIIRO thrip (*Thrips palmi*), rice thrip (*Baliothrips bifloris*), and Siphunculata [Anoplura] for example, as body louse (*Pediculus humanus corporis*), crab (*Pthirus pubis*), and Psocoptera [Psocoptera] For example, KOCHATATE (*Trogium pulsatorium*), HIRATA tea length (*Liposcelis bostrychophilus*), As Orthoptera [Orthoptera], a mole cricket (*Gryllotalpa pacifica*), A locust (*Locusta migratoria*), *Oxya japonica* (*Oxya yezoensis*), *Blattella germanica* (*Blattella germanica*), *Periplaneta fuliginosa* (*Periplaneta fuliginosa*).

[0035] moreover -- what is limited to these although the illness by the most important parasite and the most important it which trouble the Homo sapiens who can apply the insect-killing constituent of this invention is summarized next -- 7 -- it is -- **

[0036]

illness Name Student Object Bilharziosis or -- *Schistosoma mansoni* Schistosomiasis *S. japonicum* *S. Haematobium* (a schistosoma, fluke)

Ancylostomiasis *Necator americanus* *Ancylostoma duodenale* (a hookworm, nematode)

Ascariasis *Ascaris lumbricoides* (*Ascaris lumbricoides*, nematode)

Filariasis or -- *Wuchereria bancrofti* elephantiasis *Brugia malayi* (nematode)

Onchocerciasis -- or -- *Onchocerca volvulus* river blindness (nematode)

Loiasis *Loa loa* (an eye filaria, nematode)

[0037]

[Example] Although the following gives an example and this invention is explained, this inventions are not these things limited to seeing.

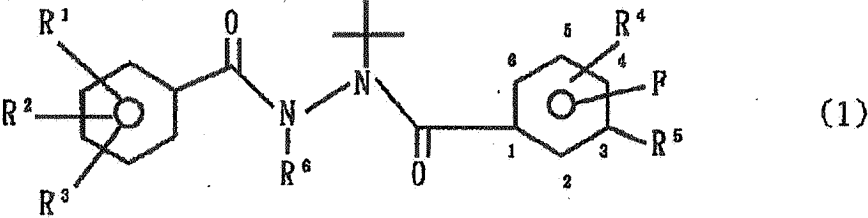
Example of manufacture 1. N'-tert-butyl - N' (6-fluoro-3-methylbenzoyl) - N-2 and 3-dimethylbenzoyl hydrazine (compound No.1) Manufacture : [The pyridine solution (5ml) of N'-tert-butyl - N-2 and 3-dimethylbenzoyl hydrazine (0.54%)] It was alike and 2-fluoro-5-methylbenzoyl chloride (0.5 g) was added under existence of 4-N of the amount of catalysts and N-dimethylamino pyridine, and ice-cooling. After carrying out overnight stirring, the reaction mixture was poured underwater and it extracted in dichloromethane. HCl water, water, and saturation brine washed the organic layer 10%, and after drying with anhydrous sodium sulfate, reduced pressure distilling off of the solvent was carried out. The obtained rough crystal was recrystallized with n-hexane / ethyl-acetate ester, and (61.9% of yield) was obtained for N'-tert-butyl-N'-(2-fluoro-5-methylbenzoyl)-N-2 and 3-dimethylhydrazine 0.54g.

[0038] Example of manufacture 2. N'-tert-butyl-N'-(4-fluoro-3-methylbenzoyl) N-2, the manufacture: N'-tert-butyl - N-2 of 3-dimethylbenzoyl hydrazine (compound No.6), and 3-dimethylbenzoyl hydrazine (0.8g) It is 4-fluoro-3-methylbenzoyl chloride (0.7g) to a ** pyridine solution (10ml) under existence of 4-N of the amount of catalysts and N-dimethylamino pyridine, and ice-cooling. It added. After carrying out overnight stirring, the reaction mixture was poured underwater and it extracted in dichloromethane. HCl water, water, and saturation brine washed the organic layer 10%, and after drying with anhydrous sodium sulfate, reduced pressure distilling off of the solvent was carried out. The obtained rough crystal was recrystallized with n-hexane / ethyl-acetate ester, and N'-tert-butyl-N'-(4-fluoro-3-methylbenzoyl)-N-2 and 3-dimethylhydrazine 1.19g (92.2% of yield) was obtained. Next, the example of representation of a compound expressed with the general formula (1)

concerning this invention is shown in the 1st table.

[0039]

[Formula 7]



[0040]

第1表

化合物番号	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	F	物性値
1	2-CH ₃	3-CH ₃	H	H	CH ₃	H	6	m. p. 156~157 °C
2	"	"	"	"	"	"	4	m. p. 212~214 °C
3	"	"	"	"	"	"	2	
4	"	"	"	"	"	"	5	
5	"	"	"	"	(CH ₃) ₂ CH	"	4	
6	"	"	"	4-F	CH ₃	"	6	m. p. 164~170 °C

[0041]

化合物番号	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	F	物 性 値
7	2-CH ₃	3-CH ₃	H	5-CH ₃	CH ₃	H	4	m.p. 128~129 °C
8	"	3-NO ₂	"	H	"	"	4	
9	"	3-Cl	"	"	"	"	"	
10	4-CH ₃ CH ₂	H	"	5-CH ₃	"	"	"	
11	4-CHF ₂	"	H	H	"	"	6	
12	4-CN	"	"	"	"	"	5	
13	4-CH ₃	"	"	"	"	"	6	
14	4-Cl	"	"	"	"	"	"	
15	2-CH ₃	6-CH ₃	"	"	"	"	"	
16	2-F	6-F	"	"	"	"	4	
17	H	H	"	"	"	"	"	
18	"	"	"	H	"	"	6	
19	3-OCH ₂ O-4		"	5-CH ₃	"	"	4	m.p. 152~153 °C
20	2-CH ₃	3,4-OCH ₂ O-		"	"	"	"	
21	"		"	"	"	"	6	m.p. 257~259 °C
22	"		"	"	"	"	4	
								m.p. 152~153 °C

[0042]

化合物番号	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	F	物性値
2 3	6-CH ₃	3,4-0CH ₂ 0-		5-CH ₃	CH ₃	H	4	m. p. 250~252 °C
2 4	2-CH ₃	"	"	H	C ₂ H ₅	"	"	
2 5		"	"		-CH ₂ =CH ₂	"	4	
2 6	2-F	6-F	H	4Cl	CH ₃	"	6	m. p. 205~6 °C
2 7	H	H	H	4Cl	CH ₃	"		m. p. 185~6 °C
2 8	2-CH ₃	6CH ₃	H	H	CH ₃	CH ₂ =CH=CH ₂	4	
2 9	4-C ₂ H ₅	H	H	6-Cl	CH ₃	H	4	175 ~6 °C
3 0	2-CH ₃	3-CH ₃	"	H	CH ₃	H	4	236 ~7 °C
3 1	2-C ₂ H ₅	H	H	H	CH ₃	H	4	156 ~8 °C
3 2	2-CH ₃	3-CH ₃	4-F	H	CH ₃	H	4	214 ~6 °C
3 3	2-CH ₃	3,4-0CH ₂ 0-		H	CH ₃	H	4	257 ~9 °C
3 4	2-CH ₃	3-CH ₃	H	6-F	CH ₃	H	4	169 ~70°C
3 5	2-CH ₃	3-CH ₃	H	5-CH ₃	CH ₃	H	4	128 ~9 °C

[0043] Next, an insect-killing constituent is concretely explained using the example of pharmaceutical preparation.

Example of pharmaceutical preparation 1. The mixed liquor 65 section of a xylene-methylnaphthalene was added to the compound 20 section of the emulsion compound number 1, it dissolved in it, subsequently to this the mixture (8:2) 15 section of an alkylphenol ethylene oxide condensate and alkylbenzene-sulfonic-acid calcium was mixed, and it considered as the emulsion. This agent is diluted with water and used as a spray.

[0044] Example of pharmaceutical preparation 2. The kaolin 35 section, the clay 30 section, and the diatomaceous earth 7.5 section are mixed in the compound 20 section of the water-dispersible-powder compound number 1, and it is the mixture (1:1) 7.5 of lauric-acid soda and dinaphthyl methansulfonic acid sodium further. The section was mixed and pulverized and powder material was obtained. This agent is diluted with water and used as a spray.

[0045] Example of pharmaceutical preparation 3. After having added the mixture (1:1) 97 section of talc and a calcium carbonate to the compound 1 section of the powder-material compound number 2, carrying out mixed grinding and carrying out distributed combination sufficiently equally, preferential grinding of the silicic anhydride 2 section was added and carried out further, and it considered as powder material. This agent is used sprinkling it as it is.

[0046] Example of pharmaceutical preparation 4. It kneads after mixing the compound 2 section of the granule compound number 2 with the bentonite impalpable powder 48 section, the talc 48 section, and the ligninsulfonic acid sodium 2 section until it adds water and becomes equal. Next, it corned through the injection molding machine and considered as the granule with a particle size of 0.6-1mm by letting a particle size regulation machine and a dryer screen pass. It is used for them, carrying out granule application of this agent to a direct paddy field side and a soil side.

[0047] Example of pharmaceutical preparation 5. Compound 0.1 of the oils compound number 1 It is piperonyl butoxide 0.5 to the section. The section was added, it dissolved in the paraffin oil, the whole was made into the 100 sections, and oils were obtained. This agent is used as it is.

[0048] Example of pharmaceutical preparation 6. Compound 0.4 of the aerosol compound number 6

The section, the PIPERORU butoxide 20 section, the xylene 6 section, and deodorization kerosene 7.6 After having carried out the mixed dissolution of the section, filling up the aerosol can and attaching a bulb part, pressurization filling of the Freon 86 section was carried out through the bulb part, and aerosol was obtained.

[0049] Example of pharmaceutical preparation 7. 0.05g of compounds of the heating fiber fumigation insect-killing constituent compound number 1 It dissolves in the chloroform of optimum dose and is 0.3mm in x1.5cm thickness 2.5cm. You made it equally attached on the surface of asbestos, and the heating-on hot platen fiber fumigation insect-killing constituent was obtained.

[0050] Example of pharmaceutical preparation 8. 0.5g of compounds of the mosquito coil compound number 1 is dissolved in a 20ml methanol, and it is 99.5g about the support for incense sticks (residuum powder: tab powder : wood flour 3:5:1 rates mixing). 150ml of water after carrying out stirring mixing at homogeneity and evaporating a methanol Molding desiccation of the thing made to scour mutually enough in addition was carried out, and the mosquito coil was obtained. Next, the example of a trial explains concretely the living thing effectiveness of a compound expressed with the general formula (1) concerning this invention.

[0051] Effectiveness over an example of trial 1. cabbage moth (forage dip coating)

20% water dispersible powder of this invention compound or 20% emulsion was manufactured according to the examples 1 and 2 of pharmaceutical preparation, and it considered as sample offering drugs. The MEP50% emulsion and the cypermethrin 6% emulsion were used as a control drug agent. Test method: The middle leaf of the cabbage grown to about ten cabbage foliage leaves was cut, and it was immersed in the processing liquid diluted with water so that the active principle of each sample offering drugs might be set to 200 ppm for 20 seconds. It put into the plastic envelope of 9cm of diameters after the air dried, and the insects scatter of the ten cabbage moth third instar larvae was carried out. The container was covered with the cover which made 5-6 pinholes, and it put into the 25-degree C cool room. It processed, four days after carrying out the insects scatter, the number of life-and-death insects was investigated, and mortality was computed. The result was shown in the 2nd table by the average of 2 reams. In addition, this cabbage moth used the product from Kagoshima of resistance for the product from Ageo of a sensitive strain and organophosphorus compounds, the carver mate agent, the pyrethroid agent, etc.

[0052]

第2表

供試化合物	死 虫 率 (%)	
	感 受 性 (上尾産)	抵 抗 性 (鹿児島産)
1	100	100
2	100	100
3		
4		
5		
6	100	100
7	100	100
10		
11		
16		
17		
19	100	100
20		
21		
23	100	100
29	100	100
31	70	60
32	70	75
33	100	100
34	100	100

[0053]

供試化合物	死 虫 率 (%)	
	感 受 性 (上尾産)	抵 抗 性 (鹿児島産)
35	100	100
MEP	100	0
サハチニリン	100	0

[0054] Example of trial 2. 20% water dispersible powder of an effectiveness this invention compound or 20% emulsion to a tobacco cutworm was manufactured according to the examples 1 and 2 of pharmaceutical preparation, and it considered as sample offering drugs.

[0055] Test method: The middle leaf of the cabbage grown to about ten cabbage foliage leaves was cut, and it diluted with water and was immersed in processing liquid for 20 seconds so that the active

principle of each sample offering drugs might be set to 200 ppm. Two processing leaves were put into the plastic envelope of 9cm of diameters after the air dried, and the insects scatter of the five tobacco cutworm third instar larvae was carried out into the container. The container was covered with the cover which made 5-6 pinholes, and it put on the 25-degree C constant temperature interior of a room gently. It processed, four days after carrying out the insects scatter, the number of life-and-death insects was investigated, and mortality was computed. The result was shown in the 3rd table by the average of 3 reams.

[0056]

第3表

供 試 化合物	死虫率 (%)	供 試 化合物	死虫率 (%)	供 試 化合物	死虫率 (%)
1	1 0 0	1 1		3 1	1 0 0
2	1 0 0	1 6		3 2	1 0 0
3		1 7		3 3	1 0 0
4		1 9	1 0 0	3 4	1 0 0
5		2 0	1 0 0	3 5	1 0 0
6	1 0 0	2 1			
7	1 0 0	2 3	1 0 0		
1 0		2 9	1 0 0		

[0057] Example of trial 3. 20% water dispersible powder of an effectiveness this invention compound or 20% emulsion to *Cnaphalocrocis medinalis* was manufactured according to the examples 1 and 2 of pharmaceutical preparation, and it considered as sample offering drugs.

Test method: Second immersion between 20 was carried out at the processing liquid which diluted ten rices of 3 leaf agent with water so that the active principle of each sample offering drugs might be set to 200 ppm. After having rolled the rice with urethane, fixing in the glass cylinder (the bore of 44mm, height of 140mm) after the air dried and carrying out the five-animal insects scatter of the *Cnaphalocrocis medinalis* 3 ****, it covered superior [of a glass cylinder] with the powder paper. The glass cylinder was put into the thermostatic chamber of a 25-degree-C and 16-hour ** term. It processed, four days after carrying out the insects scatter, the number of life-and-death insects was investigated, and mortality was computed. In addition, the trial was performed by 2 ream system and *Cnaphalocrocis medinalis* offered the submission nature network as a sample. The result was shown in the 4th table.

[0058]

第4表

供 試 化合物	死虫率 (%)	供 試 化合物	死虫率 (%)	供 試 化合物	死虫率 (%)
1	100	11		31	100
2	100	16		32	100
3		17		33	100
4		19	100	34	100
5		20	100	35	100
6	100	21			
7	100	23	100		
10		29	100		

[0059] Example of trial 4. As a result of receiving smaller tea tortrix, *Adoxyphyles* sp., 20% water dispersible powder of this invention compound or 20% emulsion was manufactured according to the examples 1 and 2 of pharmaceutical preparation, and it considered as sample offering drugs.

Test method: It was immersed in the processing liquid which diluted seven leaves of the tea before and behind the length of 5cm with water so that the active principle of sample offering drugs might be set to 200 ppm for 20 seconds. After an air dried and plastic envelope (the bore of 70mm, height of 40mm) It put in and the five-animal insects scatter of the smaller tea tortrix, *Adoxyphyles* sp. 3 **** was carried out. The container was covered with the cover which made 5-6 pinholes, and it put into the thermostatic chamber of a 25-degree-C and 16-hour ** term. It processed, four days after carrying out the insects scatter, the number of life-and-death insects was investigated, and mortality was computed. In addition, the trial was performed by 2 ream system and tea NOKOKAKUMOMBAMAKI offered the sensitive strain as a sample. The result was shown in the 5th table.

[0060]

第5表

供 試 化合物	死虫率 (%)	供 試 化合物	死虫率 (%)	供 試 化合物	死虫率 (%)
1	100	11		31	100
2	100	16		32	100
3		17		33	100
4		19	100	34	100
5		20		35	100
6	100	21			
7	100	23	100		
10		29	100		

[0061]

[Effect of the Invention] Since this invention is constituted as the example was given and explained above, it does so effectiveness which is indicated below. An N [of this invention] and N'-dibenzoyl-tert-butyl hydrazine derivative shows the insecticidal potential excellent also in the noxious insect which shows resistance to a pyrethroid agent, well-known insecticide, for example,

organophosphorus compounds, etc., and is effective in the pest control scene in a paddy field, Hataji, an orchard, a forest, or an environmental sanitation scene.

[Translation done.]

*** NOTICES ***

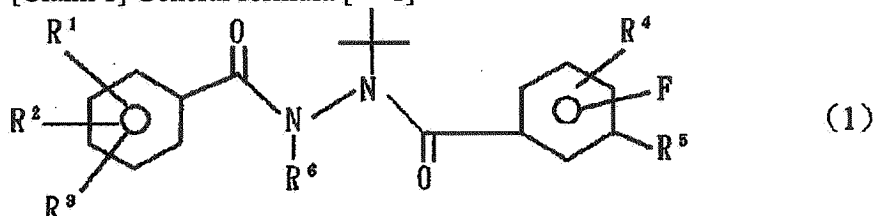
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CLAIMS

[Claim(s)]

[Claim 1] General formula [** 1]



Independently the inside R1 of [type, R2, R3, and R4, respectively A hydrogen atom, A halogen atom, a nitro group, a cyano group, an alkyl group (C1 - C4), A halo alkyl group, an alkenyl (C2 - C4) radical, (C1 - C4) An alkynyl group, an alkoxy group (C1 - C4), (C2 - C4) (C1 - C4) Haloalkoxy radical (when the adjoining location of two pieces is permuted by the alkoxy group and the haloalkoxy radical, these substituents may join together and the dioxo llano or JIOKISANO ring of a five-membered ring or six membered-rings may be formed.) It is shown and is R5. An alkyl group (C1 - C4) and an alkenyl (C2 - C4) radical are shown. R6 Hydrogen atom, alkyl group (C1 - C4), alkenyl (C2 - C4), N [that is expressed with] which shows an alkynyl group (C2 - C4)], and N'-dibenzoyl-tert-butyl hydrazine derivative.

[Claim 2] N expressed with a general formula (1) given in a claim (1), the insect-killing constituent characterized by containing an N'-dibenzoyl-tert-butyl hydrazine derivative as an active principle.

[Translation done.]

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EXAMPLE

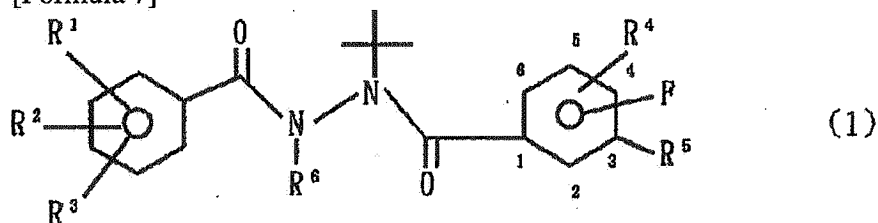
[Example] Although the following gives an example and this invention is explained, this inventions are not these things limited to seeing.

Example of manufacture 1.N'-tert-butyl - N' (6-fluoro-3-methylbenzoyl) - N-2 and 3-dimethylbenzoyl hydrazine (compound No.1) Manufacture : [The pyridine solution (5ml) of N'-tert-butyl - N-2 and 3-dimethylbenzoyl hydrazine (0.54%)] It was alike and 2-fluoro-5-methylbenzoyl chloride (0.5 g) was added under existence of 4-N of the amount of catalysts and N-dimethylamino pyridine, and ice-cooling. After carrying out overnight stirring, the reaction mixture was poured underwater and it extracted in dichloromethane. HCl water, water, and saturation brine washed the organic layer 10%, and after drying with anhydrous sodium sulfate, reduced pressure distilling off of the solvent was carried out. The obtained rough crystal was recrystallized with n-hexane / ethyl-acetate ester, and (61.9% of yield) was obtained for N'-tert-butyl-N'-(2-fluoro-5-methylbenzoyl)-N-2 and 3-dimethylhydrazine 0.54g.

[0038] Example of manufacture 2.N'-tert-butyl-N'(4-fluoro-3-methylbenzoyl) N-2, the manufacture:N'-tert-butyl - N-2 of 3-dimethylbenzoyl hydrazine (compound No.6), and 3-dimethylbenzoyl hydrazine (0.8g) It is 4-fluoro-3-methylbenzoyl chloride (0.7g) to a ** pyridine solution (10ml) under existence of 4-N of the amount of catalysts and N-dimethylamino pyridine, and ice-cooling. It added. After carrying out overnight stirring, the reaction mixture was poured underwater and it extracted in dichloromethane. HCl water, water, and saturation brine washed the organic layer 10%, and after drying with anhydrous sodium sulfate, reduced pressure distilling off of the solvent was carried out. The obtained rough crystal was recrystallized with n-hexane / ethyl-acetate ester, and N'-tert-butyl-N'-(4-fluoro-3-methylbenzoyl)-N-2 and 3-dimethylhydrazine 1.19g (92.2% of yield) was obtained. Next, the example of representation of a compound expressed with the general formula (1) concerning this invention is shown in the 1st table.

[0039]

[Formula 7]



[0040]

第1表

化合物番号	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	F	物性値
1	2-CH ₃	3-CH ₃	H	H	CH ₃	H	6	m.p. 156~157 °C
2	"	"	"	"	"	"	4	m.p. 212~214 °C
3	"	"	"	"	"	"	2	
4	"	"	"	"	"	"	5	
5	"	"	"	"	(CH ₃) ₂ CH	"	4	
6	"	"	"	4-F	CH ₃	"	6	m.p. 164~170 °C

[0041]

化合物番号	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	F	物性値
7	2-CH ₃	3-CH ₃	H	5-CH ₃	CH ₃	H	4	m.p. 128~129 °C
8	"	3-NO ₂	"	H	"	"	4	
9	"	3-Cl	"	"	"	"	"	
10	4-CH ₃ CH ₂	H	"	5-CH ₃	"	"	"	
11	4-CHF ₂	"	H	H	"	"	6	
12	4-CN	"	"	"	"	"	5	
13	4-CH ₃	"	"	"	"	"	6	
14	4-Cl	"	"	"	"	"	"	
15	2-CH ₃	6-CH ₃	"	"	"	"	"	
16	2-F	6-F	"	"	"	"	4	
17	H	H	"	"	"	"	"	
18	"	"	"	H	"	"	6	
19	3-OCH ₂ O-4	"	"	5-CH ₃	"	"	4	m.p. 152~153 °C
20	2-CH ₃	3,4-OCH ₂ O-	"	"	"	"	"	m.p. 257~259 °C
21	"	"	"	"	"	"	6	
22	"	"	"	"	"	"	4	m.p. 152~153 °C

[0042]

化合物番号	R ¹	R ²	R ³	R ⁴	R ⁵	R ⁶	F	物性値
2 3	6-CH ₃	3,4-OCH ₂ O-		5-CH ₃	CH ₃	H	4	m. p. 250~252 °C
2 4	2-CH ₃		"	H	C ₂ H ₅	"	"	
2 5			"		-CH ₂ =CH ₂	"	4	
2 6	2-F	6-F	H	4Cl	CH ₃	"	6	m. p. 205~6 °C
2 7	H	H	H	4Cl	CH ₃	"		m. p. 185~6 °C
2 8	2-CH ₃	6CH ₃	H	H	CH ₃	CH ₂ =CH=CH ₂	4	
2 9	4-C ₂ H ₅	H	H	6-Cl	CH ₃	H	4	175 ~6 °C
3 0	2-CH ₃	3-CH ₃	"	H	CH ₃	H	4	236 ~7 °C
3 1	2-C ₂ H ₅	H	H	H	CH ₃	H	4	156 ~8 °C
3 2	2-CH ₃	3-CH ₃	4-F	H	CH ₃	H	4	214 ~6 °C
3 3	2-CH ₃	3,4-OCH ₂ O-		H	CH ₃	H	4	257 ~9 °C
3 4	2-CH ₃	3-CH ₃	H	6-F	CH ₃	H	4	169 ~70°C
3 5	2-CH ₃	3-CH ₃	H	5-CH ₃	CH ₃	H	4	128 ~9 °C

[0043] Next, a insect-killing constituent is concretely explained using the example of pharmaceutical preparation.

Example of pharmaceutical preparation 1. The mixed liquor 65 section of a xylene-methylnaphthalene was added to the compound 20 section of the emulsion compound number 1, it dissolved in it, subsequently to this the mixture (8:2) 15 section of an alkylphenol ethylene oxide condensate and alkylbenzene-sulfonic-acid calcium was mixed, and it considered as the emulsion. This agent is diluted with water and used as a spray.

[0044] Example of pharmaceutical preparation 2. The kaolin 35 section, the clay 30 section, and the diatomaceous earth 7.5 section are mixed in the compound 20 section of the water-dispersible-powder compound number 1, and it is the mixture (1:1) 7.5 of lauric-acid soda and dinaphthyl methansulfonic acid sodium further. The section was mixed and pulverized and powder material was obtained. This agent is diluted with water and used as a spray.

[0045] Example of pharmaceutical preparation 3. After having added the mixture (1:1) 97 section of talc and a calcium carbonate to the compound 1 section of the powder-material compound number 2, carrying out mixed grinding and carrying out distributed combination sufficiently equally, preferential grinding of the silicic anhydride 2 section was added and carried out further, and it considered as powder material. This agent is used sprinkling it as it is.

[0046] Example of pharmaceutical preparation 4. It kneads after mixing the compound 2 section of the granule compound number 2 with the bentonite impalpable powder 48 section, the talc 48 section, and the ligninsulfonic acid sodium 2 section until it adds water and becomes equal. Next, it corned through the injection molding machine and considered as the granule with a particle size of 0.6-1mm by letting a particle size regulation machine and a dryer screen pass. It is used for them, carrying out granule application of this agent to a direct paddy field side and a soil side.

[0047] Example of pharmaceutical preparation 5. Compound 0.1 of the oils compound number 1 It is piperonyl butoxide 0.5 to the section. The section was added, it dissolved in the paraffin oil, the whole

was made into the 100 sections, and oils were obtained. This agent is used as it is.

[0048] Example of pharmaceutical preparation 6. Compound 0.4 of the aerosol compound number 6 The section, the PIPERORU butoxide 20 section, the xylene 6 section, and deodorization kerosene 7.6 After having carried out the mixed dissolution of the section, filling up the aerosol can and attaching a bulb part, pressurization filling of the Freon 86 section was carried out through the bulb part, and aerosol was obtained.

[0049] Example of pharmaceutical preparation 7. 0.05g of compounds of the heating fiber fumigation insect-killing constituent compound number 1 It dissolves in the chloroform of optimum dose and is 0.3mm in x1.5cm thickness 2.5cm. You made it equally attached on the surface of asbestos, and the heating-on hot platen fiber fumigation insect-killing constituent was obtained.

[0050] Example of pharmaceutical preparation 8. 0.5g of compounds of the mosquito coil compound number 1 is dissolved in a 20ml methanol, and it is 99.5g about the support for incense sticks (residuum powder: tab powder : wood flour 3:5:1 rates mixing). 150ml of water after carrying out stirring mixing at homogeneity and evaporating a methanol Molding desiccation of the thing made to scour mutually enough in addition was carried out, and the mosquito coil was obtained. Next, the example of a trial explains concretely the living thing effectiveness of a compound expressed with the general formula (1) concerning this invention.

[0051] Effectiveness over an example of trial 1. cabbage moth (forage dip coating)

20% water dispersible powder of this invention compound or 20% emulsion was manufactured according to the examples 1 and 2 of pharmaceutical preparation, and it considered as sample offering drugs. The MEP50% emulsion and the cypermethrin 6% emulsion were used as a control drug agent. Test method: The middle leaf of the cabbage grown to about ten cabbage foliage leaves was cut, and it was immersed in the processing liquid diluted with water so that the active principle of each sample offering drugs might be set to 200 ppm for 20 seconds. It put into the plastic envelope of 9cm of diameters after the air dried, and the insects scatter of the ten cabbage moth third instar larvae was carried out. The container was covered with the cover which made 5-6 pinholes, and it put into the 25-degree C cool room. It processed, four days after carrying out the insects scatter, the number of life-and-death insects was investigated, and mortality was computed. The result was shown in the 2nd table by the average of 2 reams. In addition, this cabbage moth used the product from Kagoshima of resistance for the product from Ageo of a sensitive strain and organophosphorus compounds, the carver mate agent, the pyrethroid agent, etc.

[0052]

第2表

供試化合物	死 虫 率 (%)	
	感 受 性 (上尾産)	抵 抗 性 (鹿児島産)
1	100	100
2	100	100
3		
4		
5		
6	100	100
7	100	100
10		
11		
16		
17		
19	100	100
20		
21		
23	100	100
29	100	100
31	70	60
32	70	75
33	100	100
34	100	100

[0053]

供試化合物	死 虫 率 (%)	
	感 受 性 (上尾産)	抵 抗 性 (鹿児島産)
35	100	100
MEP	100	0
サイハ [®] -メスリン	100	0

[0054] Example of trial 2. 20% water dispersible powder of an effectiveness this invention compound or 20% emulsion to a tobacco cutworm was manufactured according to the examples 1 and 2 of pharmaceutical preparation, and it considered as sample offering drugs.

[0055] Test method: The middle leaf of the cabbage grown to about ten cabbage foliage leaves was cut, and it diluted with water and was immersed in processing liquid for 20 seconds so that the active

principle of each sample offering drugs might be set to 200 ppm. Two processing leaves were put into the plastic envelope of 9cm of diameters after the air dried, and the insects scatter of the five tobacco cutworm third instar larvae was carried out into the container. The container was covered with the cover which made 5-6 pinholes, and it put on the 25-degree C constant temperature interior of a room gently. It processed, four days after carrying out the insects scatter, the number of life-and-death insects was investigated, and mortality was computed. The result was shown in the 3rd table by the average of 3 reams.

[0056]

第3表

供 試 化合物	死虫率 (%)	供 試 化合物	死虫率 (%)	供 試 化合物	死虫率 (%)
1	1 0 0	1 1		3 1	1 0 0
2	1 0 0	1 6		3 2	1 0 0
3		1 7		3 3	1 0 0
4		1 9	1 0 0	3 4	1 0 0
5		2 0	1 0 0	3 5	1 0 0
6	1 0 0	2 1			
7	1 0 0	2 3	1 0 0		
1 0		2 9	1 0 0		

[0057] Example of trial 3. 20% water dispersible powder of an effectiveness this invention compound or 20% emulsion to *Cnaphalocrocis medinalis* was manufactured according to the examples 1 and 2 of pharmaceutical preparation, and it considered as sample offering drugs.

Test method: Second immersion between 20 was carried out at the processing liquid which diluted ten rices of 3 leaf agent with water so that the active principle of each sample offering drugs might be set to 200 ppm. After having rolled the rice with urethane, fixing in the glass cylinder (the bore of 44mm, height of 140mm) after the air dried and carrying out the five-animal insects scatter of the *Cnaphalocrocis medinalis* 3 ****, it covered superior [of a glass cylinder] with the powder paper. The glass cylinder was put into the thermostatic chamber of a 25-degree-C and 16-hour ** term. It processed, four days after carrying out the insects scatter, the number of life-and-death insects was investigated, and mortality was computed. In addition, the trial was performed by 2 ream system and *Cnaphalocrocis medinalis* offered the submission nature network as a sample. The result was shown in the 4th table.

[0058]

第4表

供 試 化合物	死虫率 (%)	供 試 化合物	死虫率 (%)	供 試 化合物	死虫率 (%)
1	100	11		31	100
2	100	16		32	100
3		17		33	100
4		19	100	34	100
5		20	100	35	100
6	100	21			
7	100	23	100		
10		29	100		

[0059] Example of trial 4. As a result of receiving smaller tea tortrix, *Adoxyphyles* sp., 20% water dispersible powder of this invention compound or 20% emulsion was manufactured according to the examples 1 and 2 of pharmaceutical preparation, and it considered as sample offering drugs.

Test method: It was immersed in the processing liquid which diluted seven leaves of the tea before and behind the length of 5cm with water so that the active principle of sample offering drugs might be set to 200 ppm for 20 seconds. After an air dried and plastic envelope (the bore of 70mm, height of 40mm) It put in and the five-animal insects scatter of the smaller tea tortrix, *Adoxyphyles* sp. 3 **** was carried out. The container was covered with the cover which made 5-6 pinholes, and it put into the thermostatic chamber of a 25-degree-C and 16-hour ** term. It processed, four days after carrying out the insects scatter, the number of life-and-death insects was investigated, and mortality was computed. In addition, the trial was performed by 2 ream system and tea NOKOKAKUMOMBAMAKI offered the sensitive strain as a sample. The result was shown in the 5th table.

[0060]

第5表

供 試 化合物	死虫率 (%)	供 試 化合物	死虫率 (%)	供 試 化合物	死虫率 (%)
1	100	11		31	100
2	100	16		32	100
3		17		33	100
4		19	100	34	100
5		20		35	100
6	100	21			
7	100	23	100		
10		29	100		

[Translation done.]

* NOTICES *

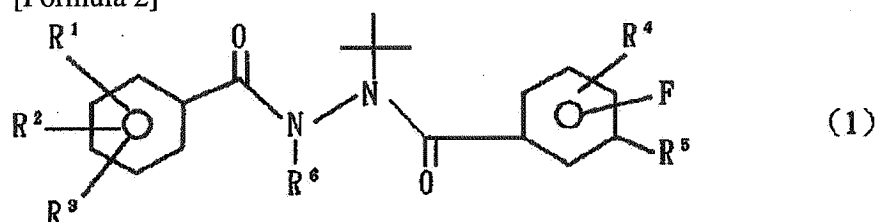
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MEANS

[Means for Solving the Problem] In order to solve the above-mentioned technical problem, as a result of inquiring wholeheartedly, it is a general formula [0006].

[Formula 2]

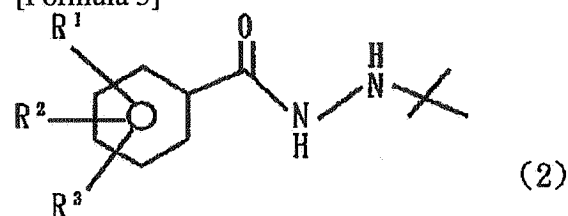


[0007] Independently the inside R1 of [type, R2, R3, and R4, respectively A hydrogen atom, A halogen atom, a nitro group, a cyano group, an alkyl group (C1 - C4), A halo alkyl group, an alkenyl (C2 - C4) radical, (C1 - C4) An alkynyl group, an alkoxy group (C1 - C4), (C2 - C4) (C1 - C4) Haloalkoxy radical (when the adjoining location of two pieces is permuted by the alkoxy group and the haloalkoxy radical, these substituents may join together and the dioxo llano or JIOKISANO ring of 5- or 6-member may be formed.) It is shown and is R5. An alkyl group (C1 - C4) and an alkenyl (C2 - C4) radical are shown. R6 A hydrogen atom, an alkyl group (C1 - C4), an alkenyl (C2 - C4) radical, (C2 - C4) The insect-killing effectiveness of an N and N'-dibenzoyl-tert-butyl hydrazine derivative expressed with] which shows an alkynyl group finds out a very high thing, and came to complete this invention.

[0008] The compound of the general formula (1) of this invention can be manufactured by the following approaches. Namely, a general formula (2)

[0009]

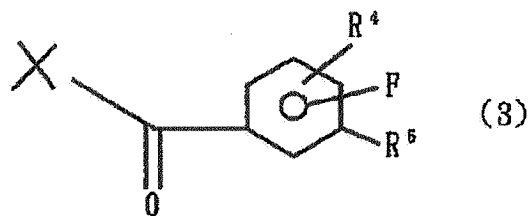
[Formula 3]



[0010] The inside R1 of [type, R2, and R3 have the same semantics as the above.] The N-benzoyl-N'-tert-butyl hydrazine and general formula (3) which are come out of and expressed

[0011]

[Formula 4]



[0012] R4 and R5 express the same semantics as the above among [type, and X expresses a halogen atom.] It is obtained by coming out and making the benzoyl halide expressed react under existence of a solvent and a base. A reaction is an equimolar ratio, or although mostly carried out by the equimolar ratio, it can also use one of components superfluously.

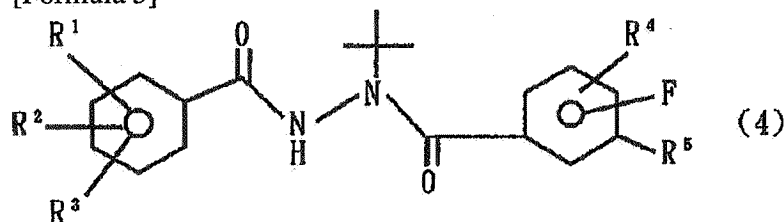
[0013] As a solvent, aprotic supply nature polar solvents, such as ester, such as nitril, such as ether, such as halogenated hydrocarbon, such as aliphatic hydrocarbon, such as a hexane, a heptane, and petroleum benzene, chloroform, dichloromethane, and a chlorobenzene, diethylether, and a tetrahydrofuran, an acetonitrile, and propionitrile, and ethyl acetate, dimethylformamide, and dimethyl sulfoxide, water, or these mixed solvents are mentioned.

[0014] As a base, organic bases, such as inorganic bases, such as a sodium hydroxide and potassium carbonate, or triethylamine, and a pyridine, are mentioned. It uses superfluously and organic bases can also be considered as a solvent. It is possible the amount of theory or to use a base superfluously. The range of -20 degrees C - 100 degrees C of reaction temperature is 0 degree C - 50 degrees C preferably. Moreover, it can also add in the system of reaction by making 4-N and N-dimethylamino pyridine etc. into a catalyst.

[0015] It sets to the compound of a general formula (1), and is R6. When an alkyl group (C1 - C4), an alkenyl (C2 - C4) radical, and an alkynyl group (C2 - C4) are shown, it can manufacture by the following approaches. Namely, a general formula (4)

[0016]

[Formula 5]



[0017] It is the compound and general formula (5) which are expressed with [the inside R1 of a formula, R2, R3, R4, and R5 show the same semantics as the above].

[0018]

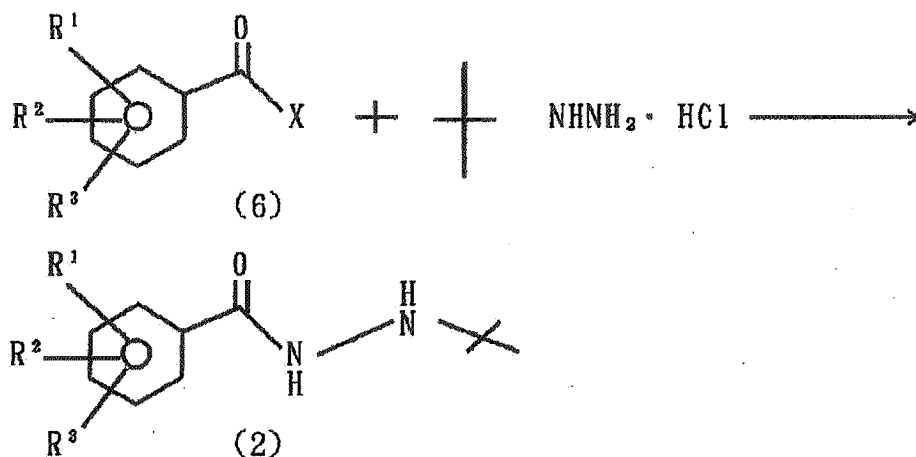
R6 -X (5)

[0019] It is obtained by making the alkyl halide expressed with [as for the inside R6 of a formula the same semantics as the above is shown and X shows a halogen atom], alkenyl halide, and alkynyl halide react under existence of a solvent and a base. Although a reaction is an equimolar ratio or is mostly performed by the equimolar ratio, it can also use halide superfluously.

[0020] As a solvent, inert solvents, such as dimethylformamide and a tetrahydrofuran, are mentioned and it can obtain under existence of bases, such as sodium hydride, by making high RAIDO, such as propyl Promid, allyl compound Promid, and propargyl Promid, react. The compound of a general formula (2) used in order to manufacture the compound of a general formula (1) can be obtained by making the benzoyl halide expressed with t-butyl hydrazine hydrochloride and a corresponding general formula (6) react. This reaction is illustrated below.

[0021]

[Formula 6]



[0022] R^1 , R^2 , R^3 , and X express the same semantics as the above among [type.] The conditions of many reactions, for example, a solvent, reaction temperature, etc. are the same as the conditions used for the reaction of the compound of a general formula (2), and the compound of a general formula (3).

[0023] the reaction mixture at the time of manufacture of the compound of a general formula (1) or the compound of a general formula (2) is enough -- time amount stirring is carried out and the specified substance is recovered by processes, such as the usual after treatment, for example, an extract, rinsing, desiccation, and solvent distilling off. Although it is enough just to carry out easy solvent washing in many cases, if there is need, recrystallization or column chromatography can refine.

[0024] When using the compound of the general formula (1) of this invention, by the approach which mixes an agricultural-chemicals adjuvant in order to remain as it is or to make effectiveness promotion ***** stability according to the purpose of use, and is generally performed in the

agricultural-chemicals manufacture field It can be used by making it the constituent of the formulation of arbitration, such as haze agents, such as powder material, a fine grain agent, a granule, water dispersible powder, a floor bull agent, an emulsion, a microcapsule agent, oils, aerosol, heating fumigants (a mosquito coil, electric ****, etc.), and FOKKINGU, a non-heating fumigant, and poison bait. On the occasion of actual use, these various pharmaceutical preparation can be used as they are directly, or can be diluted and used for desired concentration with water.

[0025] As an agricultural-chemicals adjuvant said here, support (diluent) and other adjuvants, for example, a spreader, an emulsifier, a ** exhibition agent, a dispersant, a binder, disintegrator, etc. are raised, and the thing of them can be carried out. As liquid support, sulfoxides, such as amides, such as ketones, such as alcohols, such as aromatic hydrocarbon, such as toluene and a xylene, a butanol, an octanol, and a glycol, and an acetone, and dimethylformamide, and dimethyl sulfoxide, a methylnaphthalene, a cyclohexanone, animal and vegetable oils, a fatty acid, fatty acid ester, etc. are raised for petroleum fractions, water, etc., such as kerosene and gas oil, again. As individual support, clay, a kaolin, talc, diatomaceous earth, a silica, a calcium carbonate, a montmorillonite, a bentonite, a feldspar, a quartz, an alumina, saw dust, etc. are raised.

[0026] Moreover, as an emulsifier or a dispersant, a surface active agent is usually used, for example, anion system surface active agents, such as a higher-alcohol sodium sulfate, stearyl trimethylammonium chloride, polyoxyethylene alkyl phenyl ether, and a lauryl betaine, a cation system surface active agent, a non-ion system surface active agent, and a dipolar ion system surface active agent are raised. Moreover, as a spreader, polyoxyethylene nonyl phenyl ether, polyoxyethylene RAURIRUE-Tell, etc. are raised, polyoxyethylene nonyl phenyl ether dialkyl sulfosuccinate etc. is raised as a ** exhibition agent, a carboxymethyl cellulose, polyvinyl alcohol, etc. are raised as a binder, and ligninsulfonic acid sodium, sodium lauryl sulfate, etc. are raised as disintegrator.

[0027] As for these this invention compound, it is still more possible to also make the more excellent insecticidal activity discover by two or more sorts of combination use. Moreover, other physiological active substances, for example, allethrin, free-wheel-plate RUSURIN, permethrin, Pyrethroid and various isomers, such as deca scalp phosphorus, fenvalerate, and cyclo pro thorin, Organic phosphorus system insecticides, such as pyrethrum extractives, DDVP, fenitrothion, diazinon, and

temephos, Carver mate system insecticides, such as NAC, MTMC, BPMC, and PIRIMA -, By mixing with the agricultural chemicals of other insecticides, miticide or a germicide, a nematocide, a herbicide, a plants growth regulator, fertilizer, BT agent, and a worm-hormone agent and others etc., the multiple-purpose constituent which was further excellent in effect can also be built, and the **** effectiveness can also be expected. Furthermore, this effect can also be increased several times by adding what is known, for example as synergists for pyrethroid, such as piperonyl butoxide, a SARUHOKI side, and SAFUROKISAN.

[0028] Moreover, although this invention compound is extremely stable to light, heat, oxidation, etc., the constituent by which effectiveness was stabilized more can be obtained by adding suitably arylamines, such as an antioxidant or an ultraviolet ray absorbent, for example, phenols like BHT and BHA, and alpha-naphthylamine, or benzophenone system compounds as a stabilizer if needed. The active principle content in this invention constituent changes with conditions of formulation, and the approach of using it and others, and only with an active principle compound, although it is good depending on the case, it is usually 0.5 - 80% (weight) of range preferably 0.2 to 95% (weight).

[0029] Although the amount of the constituent used of this invention changes according to the conditions of dosage forms, the approach of using it, a stage, and others, as for the agent for plantation arts, the agent for forest *****, and the agent for range noxious insects, 15-10-300g 200g are usually preferably used in the amount of active principles per 10a., and, as for the agent for health *****, 2-200mg 5-100mg is usually preferably used in the amount of active principles per two 1m. For example, for powder material, the range of 30-240g and an emulsion, and water dispersible powder of 15-120g, and a granule is [in an active principle] 40-250g in an active principle per 10a. at an active principle. However, in being special, it is able to turn the bottom to cross these range, and, sometimes, there is even need.

[0030] Moreover, when using the compound of the general formula (1) of this invention for prevention of a parasite, it is attached to weight, and it is 0.1 - 200 mg/kg. It can use with a corresponding dose. The exact dose to the condition of having been given can be determined daily, and it depends for it on the condition of the Homo sapiens concerning various factors, for example, the quality used; a parasitic class, the combination used, and a parasite, or an animal.

[0031] The example of the concrete noxious insect name which can apply the insect-killing constituent of this invention is given. From Hemiptera [Hemiptere], for example, Nephrotettix (Nephrotettix cinctic-eps), Sogatella furcifera (Sogatella furcifera) and a rice brown planthopper (Nilaparva-talugens), A small brown planthopper (Laodelphax striatellus), Riptortus clavatus (R-iptortus clavatus) and a MINAMIAO bug (Nezaraviridula), Pear Tingidae (Stephanitis nashi) and an ONSHITSU white fly (Trialeurodes vaperariorum), An woolly aphid (Aphis gossypii) and a green peach aphid (Myzus persicae), From an Arrowhead scale (Unasqis yanonensis) and Lepidoptera [Lepidoptera], for example, Phyllonorycter ringoniella (Phyllonorycterringoneella), A cabbage moth (Plutella xylostella), WATAMIGA (Promalactis inonisema), Adoxophyes (Adoxophyes orana) and a soybean pod borer (Leguminivora glycinivorella), Cnaphalocrocis medinalis (Cnaphalocr-ocis medinalis), Chilo (Chilo suppersalis), Ostrinia furnacalis (Ostrin-ia furnacalis), a cabbage armyworm (Mamestrabraccae), and [0032] Leucania (Pseudaletia separata) and a tobacco cutworm (Spodoptera litura), Rice TSUTOMUSHI (Parnara guttata) and a cabbage butterfly (Pieris rapae crucivora), HERIOCHISU (Heliothis spp.), YAGA (Agrotis spp.), From beetles [Coleoptera], for example, a DOUNE buoy buoy (Anomala cuprea), A Japanese beetle (Popillia japonica) and a rice weevil (Echinocnams soqameus), A rice Ms. weevil (Lissorhoptrusoryzophilus), rice DOROOIMUSHI (Oulema oryzae), a HIMEMARU carpet beetle (An-threnus verbasci), and [0033] A cadelle (Tenebroides mauritanicus), A rice weevil (Sitophilus zeamais), a NIJUUYAHOSHI ten tow (Henosepilachna vigintioctopunctata), Callosobruchus (Callosobruchus chinensis), Monochamus alternatus (Monochamus alterna-tus), Aulacophora femoralis (Aulacophora femoralis) and rep chino TARUSA DESEMURINEATA (Leptinotarsa decemlineata), FEDON and substance -- as rare RIAE (Phaedon cochlear-iae), JIABUROCHIKA (Diabrotica spp.), and Hymenoptera [Hymenoptera] For example, a turnip sawfly (Athalia rosaejaponensis), As a RURICHUU range sawfly (Argesi-milis) and Diptera [Diptera], for example, Culex fatigans (Culexpiensfatigans), Aedes aegypti (Aedes aegypti), soybean SAYATAMABAE (Asphondylis sp.), a seed-corn fly (Hylemya platura), a muscid (Musca domestica vicina), [0034] A melon fruit fly (Dacus cucurbitae) and Agromyza oryzae (Agromyza oryzae), As KIMBAE (Lucilia spp.) and Siphonaptera [Aphaniptera], the Pulex irritans (Pulex irritans), A

KEOBUSU rat flea (*Xenopsylla cheopis*), As a dog flea (*Ctenocephalides canis*) and Thysanoptera [Thysanoptera], Scirtothrips dorsalis (*Scirtothrips dorsalis*), As Welsh onion thrip (*Thrips tabaci*), MINAMIKIIRO thrip (*Thrips palmi*), rice thrip (*Baliothrips bifomis*), and Siphunculata [Anoplura] for example, as body louse (*Pediculus humanus corporis*), crab (*Pthirus pubis*), and Psocoptera [Psocoptera] For example, KOCHATATE (*Trogium pulsatorium*), HIRATA tea length (*Liposcelis bostrychophilus*), As Orthoptera [Orthoptera], a mole cricket (*Gryllotalpa africana*), A locust (*Locusta migratoria*), *Oxya japonica* (*Oxya yezoensis*), *Blattella germanica* (*Blattella germanica*), *Periplaneta fuliginosa* (*Periplaneta fuliginosa*).

[0035] moreover -- what is limited to these although the illness by the most important parasite and the most important it which trouble the Homo sapiens who can apply the insect-killing constituent of this invention is summarized next -- 7 -- it is -- **

[0036]

illness Name Student Object Bilharziosis or -- *Schistosoma mansoni* Schistosomiasis *S. japonicum* *S. haematobium* (a schistosoma, fluke)

Ancylostomiasis *Necator americanus* *Ancylostoma duodenale* (a hookworm, nematode)

Ascariasis *Ascaris lumbricoides* (*Ascaris lumbricoides*, nematode)

Filariasis or -- *Wuchereria bancrofti* elephantiasis *Brugia malayi* (nematode)

Onchocerciasis -- or -- *Onchocerca volvulus* river blindness (nematode)

Loiasis *Loa loa* (an eye filaria, nematode)

[Translation done.]